WEST Search History

Hide Items | Restore | Clear | Cancel

DATE: Monday, November 05, 2007

Hide?	Set Name	Query	Hit Count
		DB=PGPB,USPT; PLUR=YES; OP=ADJ	
☐	L8	L6 and (nicotinic acetylcholine)	5
☐	L7	L6 and l2	1
☐	L6	514/376.icls. or 514/376.ccls. or 548/216.icls. or 548/276.ccls.	1043
☐	L5	L2 and spiro and azabicyclo	1
☐	L4	L2 and (spiro.ti. or spiro.ab.)	2
☐	L3	L2 and (spiro near azabicyclo)	0
☐	L2	L1 and (nicotinic acetylcholine)	24
☐	L1	astrazeneca.as.	1120

END OF SEARCH HISTORY

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     FILE 'REGISTRY' ENTERED AT 16:02:28 ON 05 NOV 2007
L1                STRUCTURE UPLOADED
L2             22 S L1
L3            340 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 16:27:47 ON 05 NOV 2007
L4              7 S L3/THU
L5             10 S L3

     FILE 'REGISTRY' ENTERED AT 16:50:43 ON 05 NOV 2007
L6                STRUCTURE UPLOADED
L7              3 S L6
L8             45 S L7  SUB=L3 FULL

     FILE 'CAPLUS' ENTERED AT 16:51:41 ON 05 NOV 2007
L9              1 S L8
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PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 16:25:14 ON 05 NOV 2007
FILE 'REGISTRY' ENTERED AT 16:25:14 ON 05 NOV 2007
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.80	2.01

=> s l1 sss full
FULL SEARCH INITIATED 16:27:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 599 TO ITERATE

100.0% PROCESSED 599 ITERATIONS 340 ANSWERS
SEARCH TIME: 00.00.01

L3 340 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	175.25	175.46

FILE 'CAPLUS' ENTERED AT 16:27:47 ON 05 NOV 2007
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FILE COVERS 1907 - 5 Nov 2007 VOL 147 ISS 20
FILE LAST UPDATED: 4 Nov 2007 (20071104/ED)

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L5 10 L3

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L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Modeling Subtype-Selective Agonists Binding with α4β2 and α7 Nicotinic Acetylcholine Receptors: Effects of Local Binding and Long-Range Electrostatic Interactions

AB The subtype-selective binding of 14 representative agonists with α4β2 and α7 nicotinic acetylcholine receptors (nAChRs) has been studied by performing homol. modeling, mol. docking, geometry optimizations, and microscopic and phenomenol. binding free energy calcns. All of the computational results demonstrate that the subtype selectivity of the agonists binding with α4β2 and α7 nAChRs is affected by both local binding and long-range electrostatic interactions between the receptors and the protonated structures of the agonists. The effects of the long-range electrostatic interactions are mainly due to the distinct difference in the net charge of the ligand-binding domain between the two nAChR subtypes. For the α4β2-selective agonists examined, the microscopic binding modes with the α4β2 nAChR are very similar to the corresponding modes with the α7 nAChR, and therefore, the subtype selectivity of these agonists binding with α4β2 and α7 nAChRs is dominated by the long-range electrostatic interactions. For the α7-selective agonists, their microscopic binding modes with the α7 nAChR are remarkably different from those with the α4β2 nAChR so that the local binding (including the hydrogen bonding and cation-π interactions) with the α7 nAChR is much stronger than that with the α4β2 nAChR. The calculated phenomenol. binding free energies are in good agreement with available exptl. data for the relative binding free energies concerning the subtype selectivity of agonists binding with the two different nAChR subtypes. The fundamental insights obtained in the present study should be valuable for future rational design of potential therapeutic agents targeted to specific nAChR subtypes.

AN 2006:1296210 CAPLUS <<LOGINID::20071105>>

DN 146:200413

TI Modeling Subtype-Selective Agonists Binding with α4β2 and α7 Nicotinic Acetylcholine Receptors: Effects of Local Binding and Long-Range Electrostatic Interactions

AU Huang, Xiaoqin; Zheng, Fang; Chen, Xi; Crooks, Peter A.; Dwoskin, Linda P.; Zhan, Chang-Guo

CS Department of Pharmaceutical Sciences, College of Pharmacy, University of Kentucky, Lexington, KY, 40536, USA

SO Journal of Medicinal Chemistry (2006), 49(26), 7661-7674
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 360043-72-7 360044-45-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(subtype selectivity of agonists binding with α4β2 and α7 nAChRs is affected by hydrogen bonding, cation-π interactions and long-range electrostatic interactions)

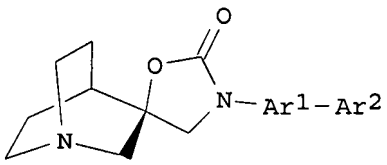
RN 360043-72-7 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(5-chloro-2-thienyl)-, (3R)- (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of spiro-oxazolidinone compounds as nicotinic acetylcholine receptor ligands

GI



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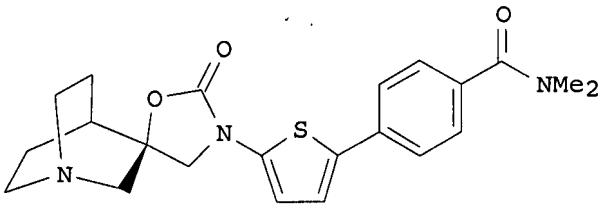

II

AB Title compds. I [Ar1, Ar2 = 5- or 6-membered aromatic or heteroarom. moiety having 0,1 or 2 nitrogen atoms, 0 or 1 oxygen atoms, and 0 or 1 sulfur atoms; wherein Ar1 is unsubstituted or has 1, 2 or 3 substituents selected from alkyl, alkenyl, alkynyl, etc. and Ar2 is unsubstituted or has 1, 2 or 3 substituents selected from -CONR1R2, -NR1COR2; R1, R2 = H, alkyl, or -NR1R2 in combination is -(CH2)jG(CH2)k-; G = bond, oxygen, sulfur, etc.; j = 2-4; k = 0-2] or stereoisomers, enantiomers, in vivo hydrolysable precursors and pharmaceutically acceptable salts thereof were prepared For example, Pd(PPh3)4 catalyzed coupling reaction of 4-(N,N-dimethylaminocarbonyl)phenylboronic acid with 2,5-dibromothiophene followed by reaction with (3S)-spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one afforded compound II. Compds. I are claimed useful as nicotinic acetylcholine receptor ligands for the treatment of anxiety, schizophrenia, etc. (no data).

AN 2006:608651 CAPLUS <<LOGINID::20071105>>
DN 145:83311

TI Preparation of spiro-oxazolidinone compounds as nicotinic acetylcholine receptor ligands

IN Chapdelaine, Marc; Chang, Hui-Fang; Herzog, Keith J.; Horchler, Carey; Phillips, Eifion
PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006065209	A1	20060622	WO 2005-SE1909	20051213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2005317280	A1	20060622	AU 2005-317280	20051213
CA 2591430	A1	20060622	CA 2005-2591430	20051213

EP 1831231 A1 20070912 EP 2005-819091 20051213
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
IN 2007DN04472 A 20070831 IN 2007-DN4472 20070612
NO 2007003551 A 20070801 NO 2007-3551 20070709
PRAI US 2004-636362P P 20041215
US 2005-643319P P 20050112
WO 2005-SE1909 W 20051213
OS MARPAT 145:83311
IT 828929-13-1P 828929-58-4P 828929-60-8P
828929-62-0P 828929-65-3P 828929-93-7P
892547-89-6P 892547-90-9P 892547-91-0P
892547-92-1P 892547-93-2P 892547-94-3P
892547-95-4P 892547-96-5P 892547-97-6P
892547-98-7P 892547-99-8P 892548-00-4P
892548-01-5P 892548-02-6P 892548-04-8P
892548-05-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro-oxazolidinone compds. as nicotinic acetylcholine receptor ligands for treatment of anxiety and schizophrenia)
RN 828929-13-1 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[5-(2-pyridinyl)-2-thiazolyl]-, (3R)- (CA INDEX NAME)

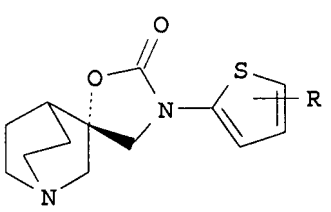
L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI (R)-3'-(3-Methylbenzo[b]thiophen-5-yl)spiro[1-azabicyclo[2,2,2]octane-3,5'-oxazolidin]-2'-one, a Novel and Potent α7 Nicotinic Acetylcholine Receptor Partial Agonist Displays Cognitive Enhancing Properties
AB Recent studies have suggested that the α7 nicotinic acetylcholine receptors play important roles in learning and memory. Herein, we describe our research of the structure-activity relationships (SAR) in a series of (S)-spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-ones bearing various bicyclic moieties to discover novel α7 receptor agonists. Through a number of SAR studies on the series, we have found out that inhibition of CYP 2D6 isoenzyme, which was a primary obstacle for the previously identified compound, was avoidable by the introduction of bicyclic moieties. Chemical optimization of the series led to the identification of a novel and potent α7 nicotinic acetylcholine receptor partial agonist 23. This compound not only possessed high binding affinity (Ki = 3 nmol/L) toward the α7 receptor but also showed agonistic activity even at a concentration of 0.1 μmol/L. In addition, compound 23
improved cognition in several rat models, which might suggest the potential of the α7 receptor partial agonist for the treatment of neurol. disorders including cognitive dysfunction.
AN 2006:600073 CAPLUS <<LOGINID::20071105>>
DN 145:159084
TI (R)-3'-(3-Methylbenzo[b]thiophen-5-yl)spiro[1-azabicyclo[2,2,2]octane-3,5'-oxazolidin]-2'-one, a Novel and Potent α7 Nicotinic Acetylcholine Receptor Partial Agonist Displays Cognitive Enhancing Properties
AU Tatsumi, Ryo; Fujio, Masakazu; Takanashi, Shin-Ichi; Numata, Atsushi; Katayama, Jiro; Satoh, Hiroyuki; Shiigi, Yasuyuki; Maeda, Jun-Ichi; Kuriyama, Makoto; Horikawa, Takashi; Murozono, Takahiro; Hashimoto, Kenji; Tanaka, Hiroshi
CS Pharmaceuticals Research Unit, Research & Development Division, Mitsubishi Pharma Corporation, Kanagawa, 227-0033, Japan
SO Journal of Medicinal Chemistry (2006), 49(14), 4374-4383
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 145:159084

IT 360043-53-4P 360043-86-3P 360043-87-4P
360043-90-9P 360044-00-4P 360044-01-5P
360044-02-6P 360044-04-8P 360044-10-6P
360044-12-8P 360044-14-0P 360044-21-9P
360044-41-3P 360044-44-6P 501901-83-3P
501901-91-3P 900508-72-7P 900508-73-8P
900508-74-9P 900508-75-0P 900508-76-1P
900508-77-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Nicotinic Acetylcholine Receptor Partial Agonists Display Cognitive Enhancing Properties)
RN 360043-53-4 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-benzo[b]thien-5-yl-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Synthesis and evaluation of [125I]I-TSA as a brain nicotinic acetylcholine receptor α7 subtype imaging agent
AB Introduction: Some in vitro investigations have suggested that the nicotinic acetylcholine receptor (nAChR) α7 subtype is implicated in Alzheimer's disease, schizophrenia and others. Recently, we developed (R)-3'-(5-bromothiophen-2-yl)spiro[1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin]-2'-one (Br-TSA), which has a high affinity and selectivity for α7 nAChRs. Therefore we synthesized (R)-3'-(5-[125I]iodothiophen-2-yl)spiro[1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin]-2'-one ([125I]I-TSA) and evaluated its potential for the in vivo detection of α7 nAChR in brain. Methods: In vitro binding affinity of I-TSA was measured in rat brain homogenates. Radioiodination was accomplished by a Br-I exchange reaction. Biodistribution studies were undertaken in mice by tail vein injection of [125I]I-TSA. In vivo receptor blocking studies were carried out by treating mice with methyllycaconitine (MLA; 5 nmol/5 μl, i.c.v.) or nonradioactive I-TSA (50 μmol/kg, i.v.). Results: I-TSA exhibited a high affinity and selectivity for the α7 nAChR (K i for α7 nAChR=0.54 nM). Initial uptake in the brain was high (4.42 %dose/g at 5 min), and the clearance of radioactivity was relatively slow in the hippocampus (α7 nAChR-rich region) and was rather rapid in the cerebellum (α7 nAChR poor region). The hippocampus to cerebellum uptake ratio was 0.9 at 5 min postinjection, but it was increased to 1.8 at 60 min postinjection. Although the effect was not statistically significant, administration of I-TSA and MLA decreased the accumulation of radioactivity in hippocampus. Conclusion: Despite its high affinity and selectivity, [125I]I-TSA does not appear to be a suitable tracer for in vivo α7 nAChR receptor imaging studies due to its high nonspecific binding. Further structural optimization is needed.
AN 2006:365732 CAPLUS <<LOGINID::20071105>>
DN 145:433949
TI Synthesis and evaluation of [125I]I-TSA as a brain nicotinic acetylcholine receptor α7 subtype imaging agent
AU Ogawa, Mikako; Tatsumi, Ryo; Fujio, Masakazu; Katayama, Jiro; Magata, Yasuhiro
CS Laboratory of Genome Bio-Photonics, Photon Medical Research Center, Hamamatsu Medical University, Hamamatsu, 431-3192, Japan
SO Nuclear Medicine and Biology (2006), 33(3), 311-316
CODEN: NMBIEO; ISSN: 0969-8051
PB Elsevier Inc.
DT Journal
LA English
IT 360043-74-9
RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(affinities of I-TSA, Br-TSA, and TSA for brain nicotinic acetylcholine

receptor α7 and 5-HT3 receptor)
RN 360043-74-9 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(5-bromo-2-thienyl)-, (3R)- (CA INDEX NAME)

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Benzothiazolnylazabicylooctane analogs as selective α7 nicotinic receptor ligands for PET diagnosis of brain diseases
GI



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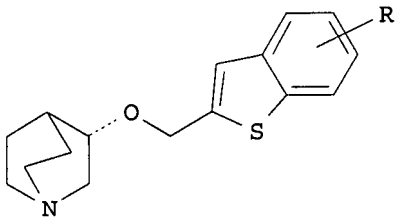


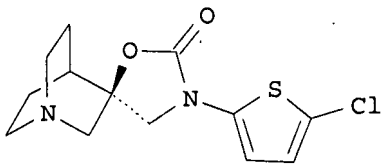
II

AB Benzothiazolnylazabicylooctane analogs (I and II; R = radionuclide) are claimed as selective α7 nicotinic receptor ligands for PET diagnosis of brain diseases. The benzothiazolnylazabicylooctane analogs were prepared, and their brain distributions were studied.
AN 2006:267108 CAPLUS <<LOGINID::20071105>>
DN 144:327037
TI Benzothiazolnylazabicylooctane analogs as selective α7 nicotinic receptor ligands for PET diagnosis of brain diseases
IN Matsuo, Masaaki; Kitashoji, Takeshi; Kamitsuchi, Eiji; Tsukada, Hideo; Nishiyama, Shingo
PA Nard Institute Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006076891	A	20060323	JP 2004-259669	20040907

PI JP 2006076891 A 20060323 JP 2004-259669 20040907
PRAI JP 2004-259669 20040907
OS MARPAT 144:327037
IT 880090-84-6P
RL: DGN (Diagnostic use); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(benzothiazolnylazabicylooctane analogs as selective α7 nicotinic receptor ligands for PET diagnosis of brain diseases)
RN 880090-84-6 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[5-(tributylstannyl)-2-thienyl]-, (3R)- (CA INDEX NAME)

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Discovery of the α7 Nicotinic Acetylcholine Receptor Agonists. (R)-3'-(5-Chlorothiophen-2-yl)spiro-1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin-2'-one as a Novel, Potent, Selective, and Orally Bioavailable Ligand
GI



I

AB Recent advances in mol. biol. suggest that neuronal nicotinic acetylcholine receptors play important roles in the central nervous system (CNS). Of these receptors, the α7 group has recently attracted interest for its CNS-related actions and is looked to as a potential new class of pharmacol. targets for cognition, schizophrenia, sensory gating, and anxiety. In the course of a research program aimed at the discovery of α7 receptor agonists with high affinity, subtype selectivity, and good pharmacokinetic profile, we discovered (R)-3'- (5-chlorothiophen-2-yl)spiro-1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin-2'-one (I). Compound I has potent binding affinity (Ki = 9 nmol/L) and good selectivity toward the other nicotinic subtypes (α4β2 and α1β2γδ) and has been found in pharmacokinetic evaluation to have good oral bioavailability and brain permeability.

AN 2005:164962 CAPLUS <<LOGINID::20071105>>

DN 142:385073

TI Discovery of the α7 Nicotinic Acetylcholine Receptor Agonists. (R)-3'-(5-Chlorothiophen-2-yl)spiro-1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin-2'-one as a Novel, Potent, Selective, and Orally Bioavailable Ligand

AU Tatsumi, Ryo; Fujio, Masakazu; Satoh, Hiroyuki; Katayama, Jiro; Takanashi, Shinichi; Hashimoto, Kenji; Tanaka, Hiroshi

CS Pharmaceuticals Research Unit, Research Development Division, Mitsubishi Pharma Corporation, Yokohama, Kanagawa, 227-0033, Japan

SO Journal of Medicinal Chemistry (2005), 48(7), 2678-2686
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

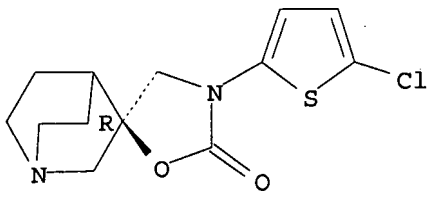
OS CASREACT 142:385073

IT 360043-73-8P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((R)-3'-(5-chlorothiophen-2-yl)spiro-1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin-2'-one preparation as oral α7 nicotinic receptor agonists)

RN 360043-73-8 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(5-chloro-2-thienyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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849637-48-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
((R)-3'-(5-chlorothiophen-2-yl)spiro-1-azabicyclo[2.2.2]octane-3,5'-[1',3']oxazolidin-2'-one preparation as oral α7 nicotinic receptor agonists)

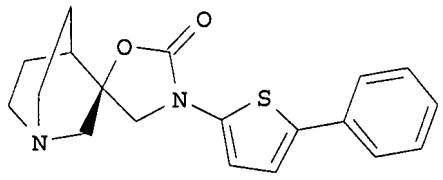
RN 360043-35-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(2-naphthalenyl)-, (3R)- (CA INDEX NAME)

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

TI A preparation of derivatives of oxazolidinone with affinity to the α7-nicotinic acetylcholine receptor

GI



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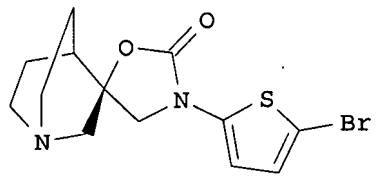

II

AB The invention relates to a preparation of derivs. of oxazolidinone of formula Q-X-A-Y [wherein: Q is spiro(azabicyclooctanoxazolidinone) derivative; A is O, S, or NH, etc.; X is 5- or 6-membered heterocycle; Y is is 5- or 6-membered (hetero)aromatic ring] with affinity to the α7-nicotinic acetylcholine receptor. For instance, oxazolidinone derivative I was prepared via phenylation of II by phenylboronic acid. The compds. of the invention were screened in α7 nAChR subtype affinity assay and showed binding

affinities (Ki) of less than 1000 nM.

AN 2005:58211 CAPLUS <<LOGINID::20071105>>

DN 142:155977

TI A preparation of derivatives of oxazolidinone with affinity to the α7-nicotinic acetylcholine receptor

IN Chang, Hui-Fang; Phillips, Eifion

PA Astrazeneca AB, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005005435	A1	20050120	WO 2004-GB2904	20040706
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2531510	A1	20050120	CA 2004-2531510	20040706
	EP 1654264	A1	20060510	EP 2004-743249	20040706
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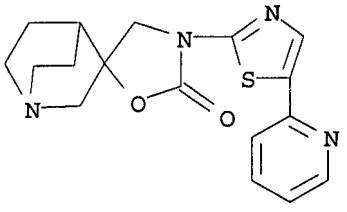
	BR 2004012382	A	20060919	BR 2004-12382	20040706
	JP 2007516200	T	20070621	JP 2006-518343	20040706
	US 2006154945	A1	20060713	US 2006-563271	20060104
	MX 2006PA00231	A	20060411	MX 2006-PA231	20060105
	NO 2006000612	A	20060406	NO 2006-612	20060208
PRAI	US 2003-485523P	P	20030708		
	WO 2004-GB2904	W	20040706		

OS CASREACT 142:155977; MARPAT 142:155977

IT 828929-12-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azabicyclooctane derivs. with affinity to the α7-nicotinic acetylcholine receptor)

RN 828929-12-0 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[5-(2-pyridinyl)-2-thiazolyl]- (CA INDEX NAME)



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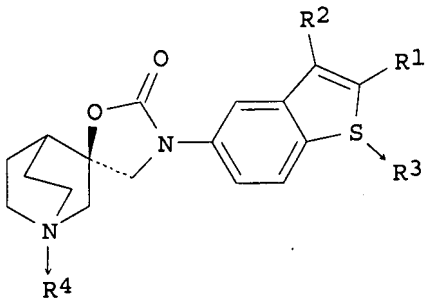
828930-30-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azabicyclooctane derivs. with affinity to the α7-nicotinic acetylcholine receptor)

RN 828928-73-0 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(5-phenyl-2-thienyl)-, (3R)- (CA INDEX NAME)

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of spiro compounds, their use as α7 nicotinic receptor (partial) agonists, and their pharmaceutical compositions for treatment of mental disorders
GI



I

AB Title compds. I (R1 = H, Me, Et, Ac, Cl, Br, CH2OH; R2 = H, Me, Et, Ac, cyano, Br, CH2OH; R3, R4 = none or O), their optical isomers, pharmacol. acceptable salts, or hydrates, useful for treatment of recognition disorder, dementia, schizophrenia, and attention-deficient disorder, are prepared Thus, condensation of 5-bromo-2-methyl-3-(2-methyl-1,3-dioxolan-2-yl)benzo[b]thiophene with (S)-(-)-spiro(1-azabicyclo[2.2.2]octane-3,5'-oxazolidin-2'-one) and treatment of the product with concentrated HCl in EtOH gave I (R1 = Me, R2 = Ac, R3 = R4 = none) HCl salt 1/5 hydrate, which showed high affinity to α7-nicotinic receptor with Ki value of 14 nM.

AN 2003:216949 CAPLUS <<LOGINID::20071105>>

DN 138:238031

TI Preparation of spiro compounds, their use as α7 nicotinic receptor (partial) agonists, and their pharmaceutical compositions for treatment of mental disorders

IN Fujio, Masakazu; Katayama, Jiro; Takanashi, Shinichi; Numata, Atsushi

PA Mitsubishi Welpharma Co., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003081978	A	20030319	JP 2001-273483	20010910
PRAI JP 2001-273483		20010910		

IT 501901-82-2P 501901-83-3P 501901-84-4P
501901-85-5P 501901-86-6P 501901-87-7P
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501901-94-6P 501901-95-7P 501902-05-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro compds. as α7 nicotinic receptor (partial) agonists and psychotropic drugs)

RN 501901-82-2 CAPLUS

CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(3-acetyl-2-methylbenzo[b]thien-5-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

TI Preparation of spiro[azabicycloalkane-oxazolidinone] derivatives and

analogs as α-7 nicotinic receptor agonists

AB The title compds. I [X = O, etc.; Y = O, etc.; R1 = H, alkyl, etc.; A = (CH2)m; m = 2 or 3; T = (CH2)n; n = 1 or 2; Ar = (un)substituted aromatic heterocyclic ring, etc.] are prepared I are remedies for dementia (e.g., Alzheimer disease), schizophrenia, cognition disorder, etc. Processes for preparing I are claimed in addnl. claims. In an in vitro test for affinity for the α-7 nicotinic receptors, (R)-3'-(5-bromo-2-thienyl)spiro[1-azabicyclo[2.2.2]octan-3,5'-oxazolidin-2'-one] showed the Ki value of 4 nM. Formulations are given.

AN 2001:752491 CAPLUS <<LOGINID::20071105>>
Correction of: 2001:676769

DN 135:318499
Correction of: 135:242223

TI Preparation of spiro[azabicycloalkane-oxazolidinone] derivatives and analogs as α-7 nicotinic receptor agonists

IN Fujio, Masakazu; Hashimoto, Kenji; Katayama, Jiro; Numata, Atsushi

PA Welfide Corporation, Japan

SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066546	A1	20010913	WO 2001-JP1793	20010307

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2000-65545 A 20000309

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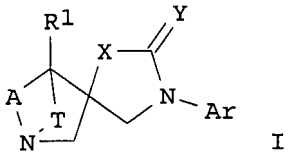
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro[azabicycloalkane-oxazolidinone] derivs. and analogs as α-7 nicotinic receptor agonists)

RN 360043-34-1 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(2-naphthalenyl)- (CA INDEX NAME)

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of spiro[azabicycloalkane-oxazolidinone] derivatives and analogs as α-7 nicotinic receptor agonists
GI



I

AB The title compds. I [X = O, etc.; Y = O, etc.; R1 = H, alkyl, etc.; A = (CH2)m; m = 2 or 3; T = (CH2)n; n = 1 or 2; Ar = (un)substituted aromatic heterocyclic ring, etc.] are prepared I are remedies for dementia (e.g., Alzheimer disease), schizophrenia, cognition disorder, etc. Processes for preparing I are claimed in addnl. claims. In an in vitro test for affinity for the α-7 nicotinic receptors, (R)-3'-(5-bromo-2-thienyl)spiro[1-azabicyclo[2.2.2]octan-3,5'-oxazolidin-2'-one] showed the Ki value of 4 nM. Formulations are given.

AN 2001:676769 CAPLUS <<LOGINID::20071105>>
DN 135:242223
TI Preparation of spiro[azabicycloalkane-oxazolidinone] derivatives and analogs as α-7 nicotinic receptor agonists
IN Fujio, Masakazu; Hashimoto, Kenji; Katayama, Jiro; Numata, Atsushi
PA Welfide Corporation, Japan
SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DT
Japanese

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001066546 A1		20010913	WO 2001-JP1793	20010307

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RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRAI JP 2000-65545 20000309
OS MARPAT 135:242223
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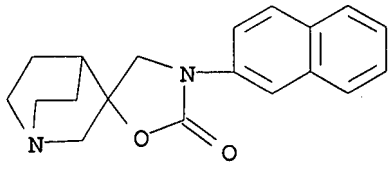

RN 360043-35-2 CAPLUS
CN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(2-naphthalenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

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FULL ESTIMATED COST	56.12	231.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

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 SESSION WILL BE HELD FOR 120 MINUTES
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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DICTIONARY FILE UPDATES:    4 NOV 2007  HIGHEST RN 952404-20-5

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http://www.cas.org/support/stngen/stndoc/properties.html

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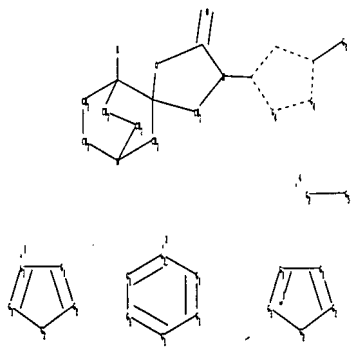
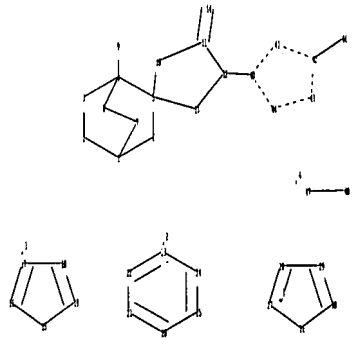




chain nodes :
9 14 46 47 48
ring nodes :
1 2 3 4 5 6 7 8 10 11 12 13 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 40 41 42 43 44
chain bonds :
4-9 11-14 12-40 42-46 47-48
ring bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 5-6 5-10 5-13 7-8 10-11 11-12 12-13 15-16
15-19 16-17 17-18 18-19 20-21 20-25 21-22 22-23 23-24 24-25 26-27 26-30
27-28 28-29
29-30 40-41 40-44 41-42 42-43 43-44
exact/norm bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-8 4-9 5-6 5-10 5-13 7-8 10-11 11-12 11-14
12-13 12-40 15-16 15-19 16-17 17-18 18-19 20-21 20-25 21-22 22-23 23-24
24-25 26-27
26-30 27-28 28-29 29-30 40-41 40-44 41-42 42-43 42-46 43-44 47-48

G1:C,N

G2:O,S,N

G3:[*1],[*2],[*3],[*4]

G4:C,O,S,N

G5:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom
40:CLASS 41:Atom
42:Atom 43:Atom 44:Atom 46:Atom 47:Atom 48:Atom

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l6
SAMPLE SEARCH INITIATED 16:51:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

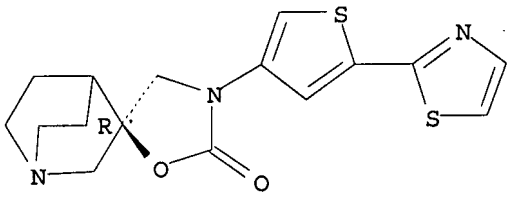
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 3 TO 163

L7 3 SEA SSS SAM L6

=> d l7 scan

L7 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one,
3'-[5-(2-thiazolyl)-3-thienyl]-, (3R)-
MF C16 H17 N3 O2 S2

Absolute stereochemistry.

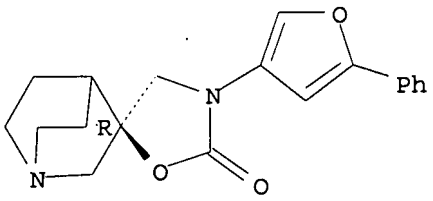


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L7 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-(5-phenyl-3-furanyl)-, (3R)-
MF C19 H20 N2 O3

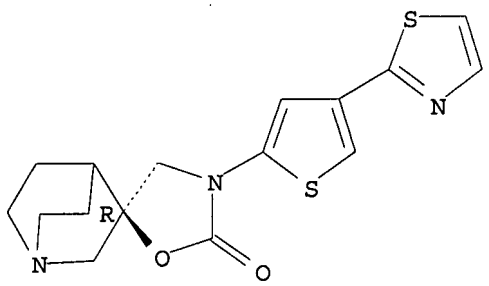
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L7 3 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[4-(2-thiazolyl)-2-thienyl]-, (3R)-
MF C16 H17 N3 O2 S2

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l7 sub=l3
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 16:51:29 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 65 TO ITERATE

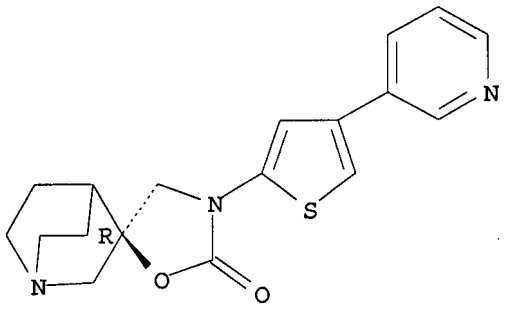
100.0% PROCESSED 65 ITERATIONS 45 ANSWERS
SEARCH TIME: 00.00.01

L8 45 SEA SUB=L3 SSS FUL L6

=> d l8 scan

L8 45 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[4-(3-pyridinyl)-2-thienyl]-, (3R)-
MF C18 H19 N3 O2 S

Absolute stereochemistry.

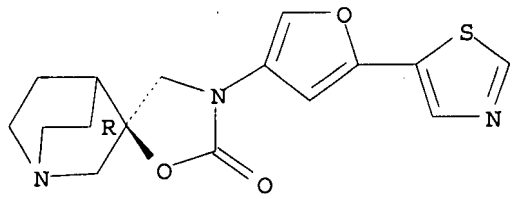


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L8 45 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[5-(5-thiazolyl)-3-furanyl]-, (3R)-
MF C16 H17 N3 O3 S

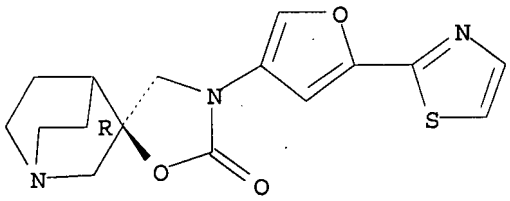
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 45 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[5-(2-thiazolyl)-3-furanyl]-, (3R)-
MF C16 H17 N3 O3 S

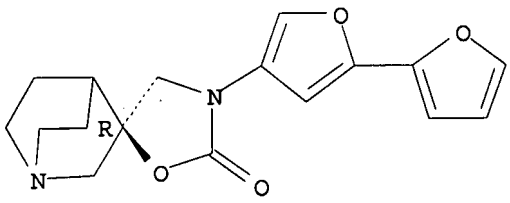
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 45 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Spiro[1-azabicyclo[2.2.2]octane-3,5'-oxazolidin]-2'-one, 3'-[2,2'-bifuran]-4-yl-, (3R)-
MF C17 H18 N2 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	41.55	273.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-7.80

FILE 'CAPLUS' ENTERED AT 16:51:41 ON 05 NOV 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 5 Nov 2007 VOL 147 ISS 20
FILE LAST UPDATED: 4 Nov 2007 (20071104/ED)

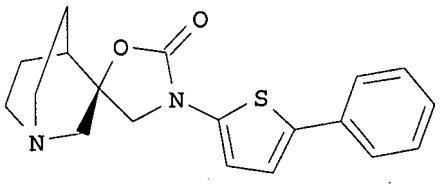
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

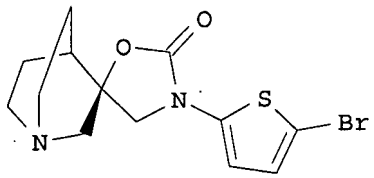
=> s l8
L9 1 L8

=> d l9 ti abs bib

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
TI A preparation of derivatives of oxazolidinone with affinity to the α7-nicotinic acetylcholine receptor
GI



I



II

AB The invention relates to a preparation of derivs. of oxazolidinone of formula Q-X-A-Y [wherein: Q is spiro(azabicyclooctanoxazolidinone) derivative; A is O, S, or NH, etc.; X is 5- or 6-membered heterocycle; Y is is 5- or 6-membered (hetero)aromatic ring] with affinity to the α7-nicotinic acetylcholine receptor. For instance, oxazolidinone derivative I was prepared via phenylation of II by phenylboronic acid. The compds. of the invention were screened in α7 nAChR subtype affinity assay and showed binding affinities (Ki) of less than 1000 nM.
AN 2005:58211 CAPLUS <<LOGINID::20071105>>
DN 142:155977
TI A preparation of derivatives of oxazolidinone with affinity to the α7-nicotinic acetylcholine receptor
IN Chang, Hui-Fang; Phillips, Eifion
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005005435	A1	20050120	WO 2004-GB2904	20040706

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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AU	2004255920	A1	20050120	AU 2004-255920	20040706
CA	2531510	A1	20050120	CA 2004-2531510	20040706
EP	1654264	A1	20060510	EP 2004-743249	20040706
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US	2006154945	A1	20060713	US 2006-563271	20060104
MX	2006PA00231	A	20060411	MX 2006-PA231	20060105
NO	2006000612	A	20060406	NO 2006-612	20060208
PRAI US	2003-485523P	P	20030708		
WO	2004-GB2904	W	20040706		

OS CASREACT 142:155977; MARPAT 142:155977
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT